

# 专利合作条约

Rec'd PCT/PTO 22 SEP 2004 PCT

专利性国际初步报告

(PCT 第II章)

(PCT 36 和细则 70)

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申请人或代理人的档案号 P2003260C	关于后续行为 参见 PCT/IPEA/416 表	
国际申请号 PCT/CN03/00206	国际申请日(日/月/年) 20.3 月 2003 (20.03.03)	(最早的) 优先权日(日/月/年) 22.3 月 2002 (22.03.02)
国际专利分类(IPC)或者国家分类和 IPC 两种分类 IPC 4: C07D 311/28 311/34 311/26 C07H 17/04 17/06 A61K 31/352 31/35		
申请人 北京键凯科技有限公司 等		

1. 本报告是国际初步审查单位根据条约 35 做出的国际初步审查报告, 并依照条约 36 将其传送给申请人。
2. 本报告共计 5 页, 包括扉页。
3. ☐ 本报告还有附件,
  - a. ☐ (传送给国际局和申请人) 共计 \_\_\_\_ 页, 包含
    - ☐ 修改后的并且作为本报告基础的说明书修改页、权利要求书修改页和/或附图修改页, 和/对本国际初步审查单位所做出的更正页(见 PCT 细则 70.16 和行政规程 607)。
    - ☐ 国际初步审查单位认为修改超出原始公开范围的废除页, 参见第 I 栏第 4 项和补充栏。
  - b. ☐ (传送给国际局) 共计 (指明电子载体的类型和数量) \_\_\_\_, 包含有在与序列列表有关的补充栏中指明的计算机可读形式的序列列表和/或与其相关的表格。(行政规程 802)

3. 本报告包括关于下列各项的内容:

- I ☒ 报告的基础
- II ☐ 优先权
- III ☐ 不做出关于新颖性、创造性和工业实用性的意见
- IV ☐ 缺乏发明的单一性
- V ☒ 按条约 35(2)关于新颖性、创造性或工业实用性的推断性意见; 支持这种意见的引证和解释
- VI ☒ 引用的某些文件
- VII ☐ 国际申请中的某些缺陷
- VIII ☒ 对国际申请的某些意见

提交要求书的日期 17.09.2003	完成本报告的日期 02.08.2004
中华人民共和国国际知识产权局 IPEA/CN 中国北京市海淀区西土城路 6 号(100088) 传真号: (86-10)62019451	受权官员  电话号码 (86-10)

# I. 报告的基础

1. 关于所使用的语言，除本项下另有说明外，本书面意见基于的语言为提交本国际申请时所使用的语言。

☐ 本书面意见基于原始语言的使用后述语言之译文 \_\_\_\_\_，

这种语言是

☐ 为了国际检索而提交的译文所使用的语言（细则 12.3 和 23.1 (b)）。

☐ 为了国际申请的公布而提交的译文所使用的语言（细则 12.4）。

☐ 为了国际初步审查而提交的译文所使用的语言（细则 55.2 和/或 55.3）。

2. 关于国际申请中各个部分，本报告基于（申请人为答复受理局根据条约 14 所发通知而提交的替换页，在本报告中视为“原始提交”的文件，不作为本报告的附件）

☒ 原始提交的国际申请。

☐ 说明书，第 \_\_\_\_\_ 页 原始提交的，  
第 \_\_\_\_\_ 页 \_\_\_\_\_ 初审单位收到的，  
第 \_\_\_\_\_ 页 \_\_\_\_\_ 初审单位收到的。

☐ 权利要求，第 \_\_\_\_\_ 页，原始提交的，  
第 \_\_\_\_\_ 页，按条约 19 条修改的(附有说明)，  
第 \_\_\_\_\_ 页 \_\_\_\_\_ 初审单位收到的，  
第 \_\_\_\_\_ 页 \_\_\_\_\_ 初审单位收到的。

☐ 附图，第 \_\_\_\_\_ 页，原始提交的。  
第 \_\_\_\_\_ 页\*，\_\_\_\_\_ 初审单位收到的，  
第 \_\_\_\_\_ 页\*，\_\_\_\_\_ 初审单位收到的。

☐ 序列表和/或相关表格——参见与序列表有关的补充栏。

3. 修改导致以下内容的删除：

☐ 说明书，第 \_\_\_\_\_ 页

☐ 权利要求，第 \_\_\_\_\_ 项

☐ 附图，第 \_\_\_\_\_ 页，图 \_\_\_\_\_

☐ 序列表（具体说明）\_\_\_\_\_

☐ 与序列表相关的表格（具体说明）\_\_\_\_\_

4. ☐ 由于本报告附件的(某些)修改，如下所列，被认为超出了原始公开的范围，如补充栏所示，因此本报告是按照没有修改的情况做出的(细则 70.2(c))。

☐ 说明书，第 \_\_\_\_\_ 页

☐ 权利要求，第 \_\_\_\_\_ 项

☐ 附图，第 \_\_\_\_\_ 页，图 \_\_\_\_\_

☐ 序列表（具体说明）\_\_\_\_\_

☐ 与序列表相关的表格（具体说明）\_\_\_\_\_

\*如果第 4 项适用，一些或全部的文件页可能做出“废除”标记。

V. 按条约 35 (2) 关于新颖性、创造性或工业实用性的推断性意见；支持这种意见的引证和解释

1. 意见

新颖性(N)	权利要求	1-13	是
	权利要求		否
创造性(IS)	权利要求	1-13	是
	权利要求		否
工业实用性(IA)	权利要求	1-13	是
	权利要求		否

2. 引证和解释 (细则 70.7)

D1=WO 00/18439, 2000 年 4 月 6 日公开, SCHERING AKTIENGESELLSCHAFT

D2=US 5,211,944, 1993 年 3 月 18 日公开, Michael S.等

D3=《中国药学杂志》, 1998 年, 33 卷 10 期, 598-601 页, 郭健平等,

D4=WO 01/49281, 2001 年 7 月 12 日公开, PROTEO TECH, INC

D5=JP 平 4-154805, 1992 年 5 月 27 日, SHINETSU CHEM IND CO LTD

D1 公开了与 NAGM-活性剂偶联物, 其中活性剂为黄酮类 (例如 genistein)。

D2 公开了用于治疗呼吸道病毒感染的、具有 2-30 该黄酮单元的原花色素聚合物。

D3 公开了使用聚乙二醇作为葛根黄酮载体系统的研究, 其中用乙醇和 PEG400 作为载药系统。

D4 公开了黄酮类 (例如 baicalein) 的衍生物, 衍生物可以带有非干扰性取代基。

D5 公开了一种粘着抑制剂, 其中含有黄酮类天然有色元素和聚乙烯醇。

本申请公开了与亲水性聚合物相连的黄酮类化合物, 其中通过带有亲水性聚合物来改善黄酮类化合物的药学性质。上述文献中没有公开本申请的技术方案, 而且本申请请求保护的方案基于现有技术并不是显而易见的。因此, 权利要求 1-13 符合有关新颖性和创造性的规定。

VI. 某些引用文件

1. 某些已公布的文件(细则 70.10)

申请号 专利号	公布日 (日/月/年)	申请日 (日/月/年)	优先权日(有效的) (日/月/年)
WO 00/18439	06/04/00	29/09/99	29/09/98
US 5,211,944	18/03/93	29/07/91	
WO 01/49281	12/07/01	28/12/00	30/12/99
JP 4154805	27/05/92	19/10/90	19/10/90

2. 非书面公开(细则 70.9)

非书面公开的种类	非书面公开的日期 (日/月/年)	述及非书面公开的 书面公开的日期 (日/月/年)
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VIII. 对国际申请的某些评论意见

就权利要求、说明书和附图的清楚性，或者权利要求是否得到说明书的充分支持提出以下意见：

权利要求 1 中 P 限定为“代表亲水性聚合物”，但是本申请的具体实施方式和实施例仅公开了聚乙二醇作为该亲水性聚合物，因此，该上位概念概括了较宽的范围，所属领域技术人员难以预见所有亲水性聚合物与黄酮类化合物相连都能够改善其性质，权利要求 1 得不到说明书支持。

权利要求 6 中“如： ”导致该权利要求不清楚。

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INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY  
(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference P2003260C		FOR FURTHER ACTION See Form PCT/IPEA/416	
International application No. PCT/CN03/00206	International filing date (day/month/year) 20.Mar 2003(20.03.2003)	Priority date (day/month/year) 22.Mar 2002(22.03.02)	
International Patent Classification (IPC) or national classification and IPC IPC 4: C07D 311/28 311/34 311/26 C07H 17/04 17/06 A61K 31/352 31/35			
Applicant BEIJING JIANKAI TECHNOLOGY CO.,LTD. et al.			
<p>1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of <u>5</u> sheets, including this cover sheet.</p> <p>3. This report is also accompanied by ANNEXES, comprising:</p> <p>a. <input type="checkbox"/> (sent to the applicant and to the International Bureau) a total of _____ sheets, as follows:</p> <p><input type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).</p> <p><input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.</p> <p>b. <input type="checkbox"/> (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) _____, containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).</p>			
<p>4. This report contains indications relating to the following items:</p> <p><input checked="" type="checkbox"/> Box No. I Basis of the report</p> <p><input type="checkbox"/> Box No. II Priority</p> <p><input type="checkbox"/> Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p><input type="checkbox"/> Box No. IV Lack of unity of invention</p> <p><input checked="" type="checkbox"/> Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p><input checked="" type="checkbox"/> Box No. VI Certain documents cited</p> <p><input type="checkbox"/> Box No. VII Certain defects in the international application</p> <p><input checked="" type="checkbox"/> Box No. VIII Certain observations on the international application</p>			
Date of submission of the demand 17.09.2003		Date of completion of this report 02/08/2004	
Name and mailing address of the IPEA/  Facsimile No.		Authorized officer Telephone No.	

## INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.  
PCT/CN03/00206

## Box No. I Basis of the report

1. With regard to the language, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.

- ☐ This report is based on translations from the original language into the following language \_\_\_\_\_, which is the language of a translation furnished for the purposes of:
- ☐ international search (under Rules 12.3 and 23.1(b))
  - ☐ publication of the international application (under Rule 12.4)
  - ☐ international preliminary examination (under Rules 55.2 and/or 55.3)

2. With regard to the elements of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:

- ☒ the international application as originally filed/furnished  
☐ the description:

pages \_\_\_\_\_ as originally filed/furnished  
pages \* \_\_\_\_\_ received by this Authority on \_\_\_\_\_  
pages \* \_\_\_\_\_ received by this Authority on \_\_\_\_\_

- ☐ the claims:
- pages \_\_\_\_\_ as originally filed/furnished  
pages \* \_\_\_\_\_ as amended (together with any statement) under Article 19  
pages \* \_\_\_\_\_ received by this Authority on \_\_\_\_\_  
pages \* \_\_\_\_\_ received by this Authority on \_\_\_\_\_

- ☐ the drawings:
- pages \_\_\_\_\_ as originally filed/furnished  
pages \* \_\_\_\_\_ received by this Authority on \_\_\_\_\_  
pages \* \_\_\_\_\_ received by this Authority on \_\_\_\_\_

- ☐ a sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing.

3. ☐ The amendments have resulted in the cancellation of:

- ☐ the description, pages \_\_\_\_\_
- ☐ the claims, Nos. \_\_\_\_\_
- ☐ the drawings, sheets/figs \_\_\_\_\_
- ☐ the sequence listing (*specify*): \_\_\_\_\_
- ☐ any table(s) related to sequence listing (*specify*): \_\_\_\_\_

4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

- ☐ the description, pages \_\_\_\_\_
- ☐ the claims, Nos. \_\_\_\_\_
- ☐ the drawings, sheets/figs \_\_\_\_\_
- ☐ the sequence listing (*specify*): \_\_\_\_\_
- ☐ any table(s) related to sequence listing (*specify*): \_\_\_\_\_

\* If item 4 applies, some or all of those sheets may be marked "superseded."

**Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement****1. Statement:**

Novelty (N)	Claims	1-13	YES
	Claims		NO
Inventive step (IS)	Claims	1-13	YES
	Claims		NO
Industrial applicability (IA)	Claims	1-13	YES
	Claims		NO

**2. Citations and explanations (Rule 70.7)**

D1=WO 00/18439, 06.April.2000, SCHERING AKTIENGESELLSCHAFT

D2=US 5,211,944, 18.Mar.1993, Michael S. et al.

D3=《China Pharm. J.》, 1998, vol.33, no.10, pp.598-601; Guo J.P. et al.

D4=WO 01/49281, 12.July.2001, PROTEO TECH, INC

D5=JP 4154805, 27.May.1992, SHINETSU CHEM IND CO LTD

D1 disclosed NAGM-active agent conjugates, said active agent can selected from flavonoids(for example genistein)

D2 disclosed proanthocyanidin polymers which have 2-30 flavonoid units, said polymers can be used for treating respiratory virus infections.

D3 disclosed radix puerariae dug carrier systems with ethylcellulose-polyethylene glycol.

D4 disclosed derivatives of flavonoid which can be baicalein.

D5 disclosed adhesive inhibitor including flavonoid-type natural colour elements and PVA.

The subject-matter of Claims 1-13 are hydrophilic polymer-flavonoids conjugates, by modification by PEGylation, increases water solubility of flavonoid drugs.

Therefore, the subject-matter of claims 1-13 is considered to involve novelty and inventive step(Art.33(2)and(3)PCT).



# INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International Application No.  
PCT/CN03/00206

## Box No. VI Certain documents cited

### 1. Certain published documents (Rule 70.10)

Application No. Patent No.	Publication date (day/month/year)	Filing date (day/month/year)	Priority date (valid claim) (day/month/year)
WO 00/18439	06/04/00	29/09/99	29/09/98
US 5.211.944	18/03/93	29/07/91	
WO 01/49281	12/07/01	28/12/00	30/12/99
JP 4154805	27/05/92	19/10/90	19/10/90

### 2. Non-written disclosures (Rule 70.9)

Kind of non-written disclosure	Date of non-written disclosure (day/month/year)	Date of written disclosure referring to non-written disclosure (day/month/year)

**Box No. VIII Certain observations on the international application**

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

The present description only disclosed polyethylene glycols as the hydrophilic polymer in the conjugates, so "hydrophilic polymers" of the present claim 1 can't supported by the description.  
"for example" in claim6 is not clearly.